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      FILE 'REGISTRY' ENTERED AT 10:48:28 ON 04 DEC 2007
L8              STRUCTURE UPLOADED
L9           1 S L8
L10         29 S L8 SSS FULL

      FILE 'STNGUIDE' ENTERED AT 10:49:44 ON 04 DEC 2007

      FILE 'REGISTRY' ENTERED AT 10:51:50 ON 04 DEC 2007
L11             STRUCTURE UPLOADED
L12          0 S L11
L13          1 S L11 SSS FULL

      FILE 'STNGUIDE' ENTERED AT 10:53:18 ON 04 DEC 2007

      FILE 'REGISTRY' ENTERED AT 10:55:13 ON 04 DEC 2007
L14             STRUCTURE UPLOADED
L15          0 S L14
L16          9 S L14 SSS FULL

      FILE 'STNGUIDE' ENTERED AT 10:56:14 ON 04 DEC 2007

      FILE 'REGISTRY' ENTERED AT 10:57:39 ON 04 DEC 2007
L17             STRUCTURE UPLOADED
L18          0 S L17
L19         15 S L17 SSS FULL
L20         54 S L10 OR L13 OR L16 OR L19

      FILE 'CAPLUS' ENTERED AT 11:00:38 ON 04 DEC 2007
L21          4 S L20

      FILE 'REGISTRY' ENTERED AT 11:00:49 ON 04 DEC 2007
```

```
=>
Uploading C:\Program Files\Stnexp\Queries\test-12-4-07-14.str

L8      STRUCTURE UPLOADED


=>
Uploading C:\Program Files\Stnexp\Queries\test-12-4-07-15.str

L11     STRUCTURE UPLOADED



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Uploading C:\Program Files\Stnexp\Queries\test-12-4-07-16.str

L14     STRUCTURE UPLOADED


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Uploading C:\Program Files\Stnexp\Queries\test-12-4-07-17.str

L17     STRUCTURE UPLOADED
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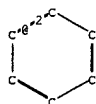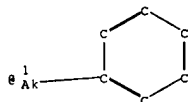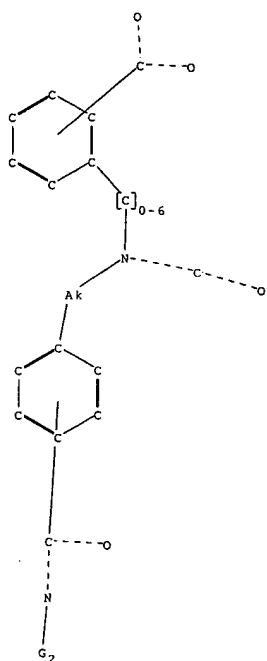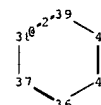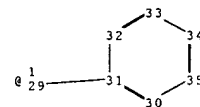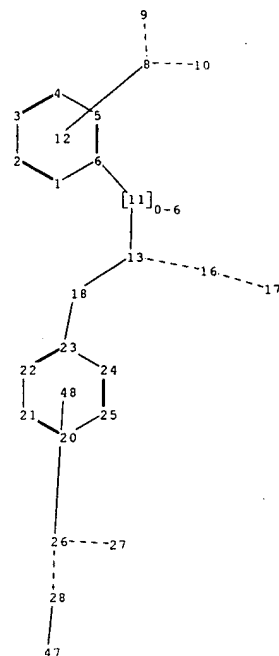
chain nodes :
     8   9   10   11   13   16   17   18   26   27   28   29   42   47
ring nodes :
     1   2   3   4   5   6   20   21   22   23   24   25   30   31   32   33   34   35   36   37   38   39   40   41
chain bonds :
     6-11   8-9   8-10   11-13   13-16   13-18   16-17   18-23   26-28   26-27   28-47   29-31
ring bonds :
     1-2   1-6   2-3   3-4   4-5   5-6   20-21   20-25   21-22   22-23   23-24   24-25   30-31   30-35
     31-32   32-33   33-34   34-35   36-37   36-41   37-38   38-39   39-40   40-41
exact/norm bonds :
     6-11   8-9   8-10   11-13   13-16   13-18   16-17   18-23   26-28   26-27   28-47   29-31
normalized bonds :
     1-2   1-6   2-3   3-4   4-5   5-6   20-21   20-25   21-22   22-23   23-24   24-25   30-31   30-35
     31-32   32-33   33-34   34-35   36-37   36-41   37-38   38-39   39-40   40-41
isolated ring systems :
     containing 1 : 20 : 30 : 36 :

G2:[*1],[*2],[*3]

Connectivity :
     18:2 E exact RC ring/chain   42:1 E exact RC ring/chain
Match level :
     1:Atom   2:Atom   3:Atom   4:Atom   5:Atom   6:Atom   8:CLASS   9:CLASS   10:CLASS   11:CLASS
     12:Atom   13:CLASS   16:CLASS   17:CLASS   18:CLASS   20:Atom   21:Atom   22:Atom   23:Atom
     24:Atom   25:Atom   26:CLASS   27:CLASS   28:CLASS   29:CLASS   30:Atom   31:Atom   32:Atom
     33:Atom   34:Atom   35:Atom   36:Atom   37:Atom   38:Atom   39:Atom   40:Atom   41:Atom
     42:CLASS

```
            47:CLASS   48:Atom
Generic attributes :
    18:
    Saturation              : Saturated
    42:
    Saturation              : Saturated
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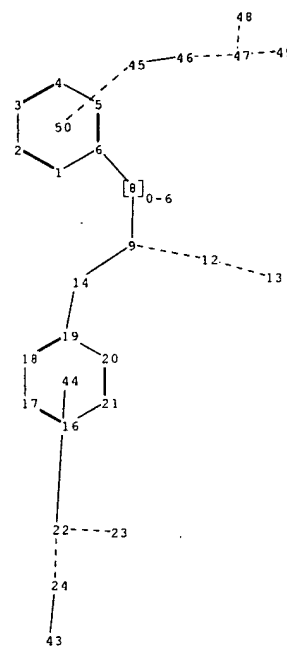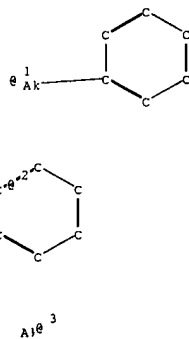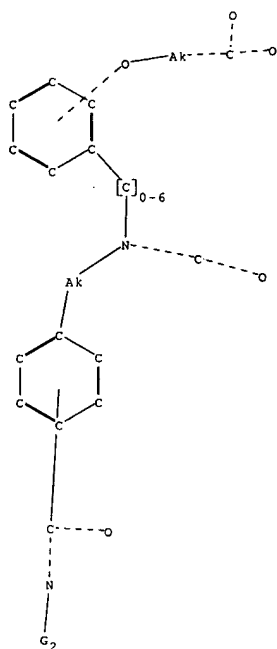
chain nodes :
    8   9   12   13   14   22   23   24   25   38   43   45   46   47   48   49
ring nodes :
    1   2   3   4   5   6   16   17   18   19   20   21   26   27   28   29   30   31   32   33   34   35   36   37
chain bonds :
    6-8   8-9   9-12   9-14   12-13   14-19   22-24   22-23   24-43   25-27   45-46   46-47   47-48
    47-49
ring bonds :
    1-2   1-6   2-3   3-4   4-5   5-6   16-17   16-21   17-18   18-19   19-20   20-21   26-27   26-31
    27-28   28-29   29-30   30-31   32-33   32-37   33-34   34-35   35-36   36-37
exact/norm bonds :
    6-8   8-9   9-12   9-14   12-13   14-19   22-24   22-23   24-43   25-27   45-46   46-47   47-48
    47-49
normalized bonds :
    1-2   1-6   2-3   3-4   4-5   5-6   16-17   16-21   17-18   18-19   19-20   20-21   26-27   26-31
    27-28   28-29   29-30   30-31   32-33   32-37   33-34   34-35   35-36   36-37
isolated ring systems :
    containing 1 : 16 : 26 : 32 :

G2:[*1],[*2],[*3]

Connectivity :
    14:2 E exact RC ring/chain   38:1 E exact RC ring/chain   46:2 E exact RC ring/chain
Match level :
    1:Atom   2:Atom   3:Atom   4:Atom   5:Atom   6:Atom   8:CLASS   9:CLASS   12:CLASS   13:CLASS
    14:CLASS   16:Atom   17:Atom   18:Atom   19:Atom   20:Atom   21:Atom   22:CLASS   23:CLASS
    24:CLASS

```
        25:CLASS   26:Atom   27:Atom   28:Atom   29:Atom   30:Atom   31:Atom   32:Atom
    33:Atom   34:Atom   35:Atom   36:Atom   37:Atom   38:CLASS   43:CLASS   44:Atom   45:CLASS
    46:CLASS   47:CLASS   48:CLASS   49:CLASS   50:Atom
Generic attributes :
    14:
    Saturation              : Saturated
    38:
    Saturation              : Saturated
    46:
    Saturation              : Saturated
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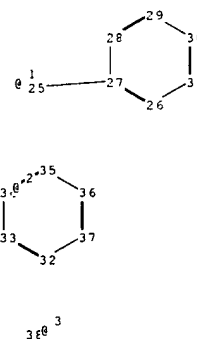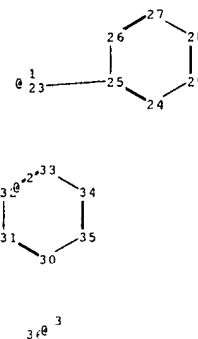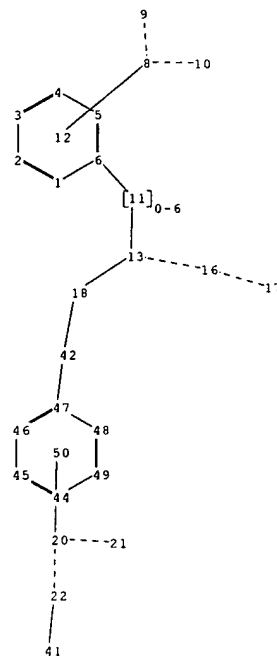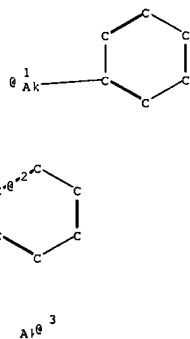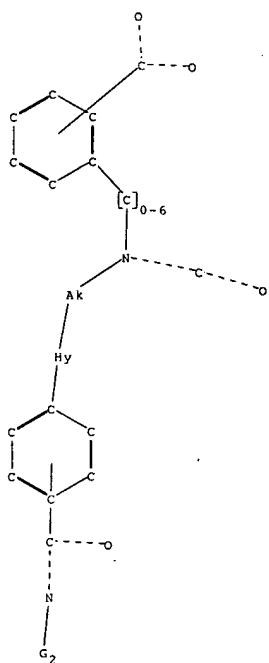
chain nodes :
     8   9   10   11   13   16   17   18   20   21   22   23   36   41   42
ring nodes :
     1   2   3   4   5   6   24   25   26   27   28   29   30   31   32   33   34   35   44   45   46   47   48   49
chain bonds :
     6-11   8-9   8-10   11-13   13-16   13-18   16-17   18-42   20-22   20-21   22-41   23-25   42-47
ring bonds :
     1-2   1-6   2-3   3-4   4-5   5-6   24-25   24-29   25-26   26-27   27-28   28-29   30-31   30-35
     31-32   32-33   33-34   34-35   44-45   44-49   45-46   46-47   47-48   48-49
exact/norm bonds :
     6-11   8-9   8-10   11-13   13-16   13-18   16-17   18-42   20-22   20-21   22-41   23-25   42-47
normalized bonds :
     1-2   1-6   2-3   3-4   4-5   5-6   24-25   24-29   25-26   26-27   27-28   28-29   30-31   30-35
     31-32   32-33   33-34   34-35   44-45   44-49   45-46   46-47   47-48   48-49
isolated ring systems : -
     containing 1 : 24 : 30 : 44 :

G2:[*1],[*2],[*3]

Connectivity :
     18:2 E exact RC ring/chain   36:1 E exact RC ring/chain
Match level :
     1:Atom   2:Atom   3:Atom   4:Atom   5:Atom   6:Atom   8:CLASS   9:CLASS   10:CLASS   11:CLASS
     12:Atom   13:CLASS   16:CLASS   17:CLASS   18:CLASS   20:CLASS   21:CLASS   22:CLASS   23:CLASS
     24:Atom   25:Atom   26:Atom   27:Atom   28:Atom   29:Atom   30:Atom   31:Atom   32:Atom   33:Atom
     34:Atom   35:Atom   36:CLASS   41:CLASS   42:Atom   44:Atom   45:Atom   46:Atom   47:Atom
     48:CLASS

49:Atom   50:Atom
Generic attributes :
    18:
    Saturation   : Saturated
    36:
    Saturation   : Saturated
    42:
    Saturation   : Unsaturated
    Number of Carbon Atoms : less than 7
    Number of Hetero Atoms : 2 or more
    Type of Ring System   : Monocyclic

Element Count :
    Node 42: Limited
        C,C3
        N,N1
        S,S1
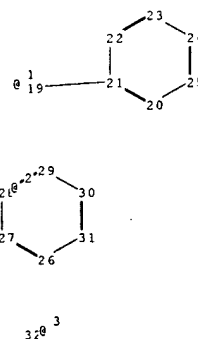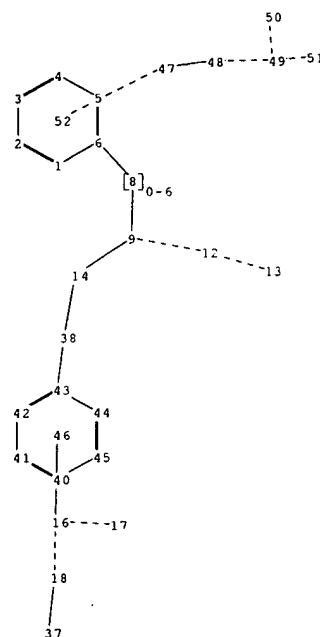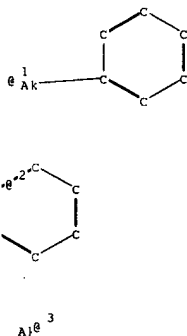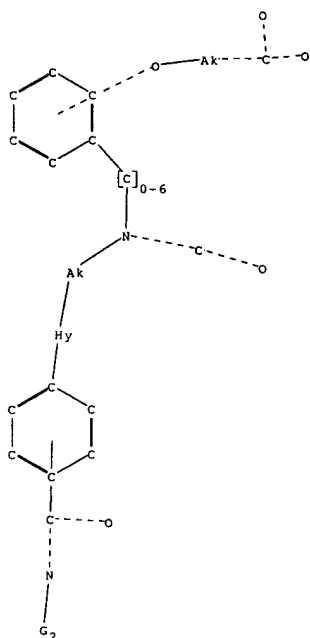
```
chain nodes :
    8   9   12   13   14   16   17   18   19   32   37   38   47   48   49   50   51
ring nodes :
    1   2   3   4   5   6   20   21   22   23   24   25   26   27   28   29   30   31   40   41   42   43   44   45
chain bonds :
    6-8   8-9   9-12   9-14   12-13   14-38   16-18   16-17   18-37   19-21   38-43   47-48   48-49
    49-50   49-51
ring bonds :
    1-2   1-6   2-3   3-4   4-5   5-6   20-21   20-25   21-22   22-23   23-24   24-25   26-27   26-31
    27-28   28-29   29-30   30-31   40-41   40-45   41-42   42-43   43-44   44-45
exact/norm bonds :
    6-8   8-9   9-12   9-14   12-13   14-38   16-18   16-17   18-37   19-21   38-43   47-48   48-49
    49-50   49-51
normalized bonds :
    1-2   1-6   2-3   3-4   .4-5   5-6   20-21   20-25   21-22   22-23   23-24   24-25   26-27   26-31
    27-28   28-29   29-30   30-31   40-41   40-45   41-42   42-43   43-44   44-45
isolated ring systems :
    containing 1 : 20 : 26 : 40 :


G2:[*1],[*2],[*3]


Connectivity :
    14:2 E exact RC ring/chain   32:1 E exact RC ring/chain   48:2 E exact RC ring/chain
Match level :
    1:Atom   2:Atom   3:Atom   4:Atom   5:Atom   6:Atom   8:CLASS   9:CLASS   12:CLASS   13:CLASS
    14:CLASS   16:CLASS   17:CLASS   18:CLASS   19:CLASS   20:Atom   21:Atom   22:Atom   23:Atom
    24:Atom
```

```
        25:Atom   26:Atom   27:Atom   28:Atom   29:Atom   30:Atom   31:Atom   32:CLASS
    37:CLASS   38:Atom   40:Atom   41:Atom   42:Atom   43:Atom   44:CLASS   45:Atom   46:Atom
    47:CLASS   48:CLASS   49:CLASS   50:CLASS   51:CLASS   52:Atom
```

Generic attributes :

```
    14:
    Saturation              : Saturated
    32:
    Saturation              : Saturated
    38:
    Saturation              : Unsaturated
    Number of Carbon Atoms  : less than 7
    Number of Hetero Atoms  : 2 or more
    Type of Ring System     : Monocyclic
    48:
    Saturation              : Saturated
```

Element Count :

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    Node 38: Limited
        C,C3
        N,N1
        S,S1
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             1 US200!-565557/PRN
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               (US200!-565557/AP,PRN)

=> s l21 and l23
L24          1 L21 AND L23

=> d l24 bib abs
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L24   ANSWER 1 OF 1   CAPLUS   COPYRIGHT 2007 ACS on STN
AN   2005:120736   CAPLUS
DN   142:219051
TI   Preparation of aryl dicarboxamides as protein-tyrosine phosphatase
       inhibitors
IN   Thomas, Russel J.; Swinnen, Dominique; Pons, Jean-Francois; Bombrun, Agnes
PA   Applied Research Systems Ars Holding N.V., Neth.
SO   PCT Int. Appl., 103 pp.
       CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005011685	A1	20050210	WO 2004-EP51558	20040720

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
      CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
      GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
      LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
      NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
      TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
      AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
      EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
      SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
      SN, TD, TG

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	AU 2004260831	A1	20050210	AU 2004-260831	20040720
	CA 2529662	A1	20050210	CA 2004-2529662	20040720
	EP 1656139	A1	20060517	EP 2004-742005	20040720

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
      IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 2006528157	T	20061214	JP 2006-520836	20040720
	US 2006189583	A1	20060824	US 2006-565557	20060123 <--
	NO 2006000815	A	20060220	NO 2006-815	20060220
PRAI	EP 2003-102236	A	20030721		
	US 2003-517824P	P	20031106		
	WO 2004-EP51558	W	20040720		

OS   CASREACT 142:219051; MARPAT 142:219051
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -   AVAILABLE VIA OFFLINE PRINT *

AB   Title compds. I [A = CONHR6 wherein R6 = alkyl, alkenyl, alkynyl,
       cycloalkyl, etc.; X = aryl, heteroaryl, arylheteroaryl, arylaryl, etc.; n
       = 0 or 1; R1 and R2 independently = H or alkyl; R3 = alkyl, alkenyl,
       alkynyl, alkoxy, etc.; R4 and R5 independently = H, OH, alkyl, carboxy,
       alkoxy, etc.], and their pharmaceutically acceptable salts, are prepared and
       disclosed as protein-tyrosine phosphatase inhibitors. Thus, e.g., II was
       prepared via reductive amination of 6-amino-2,2-dimethyl-4H-1,3-benzodioxin-

4-one (preparation given) with 4-formylbenzoate and subsequent amidation with 3-cyclopentylpropanoyl chloride, debenzylation, amidation with 4-phenoxybenzylamine and deprotection. I were evaluated for inhibition of PTP, and in particular PTP1B; e.g., II possessed an IC50 value of 1.0 μM in assays against PTP1B. As PTP inhibitors, I should be useful for the treatment and/or prevention of obesity and/or metabolic disorders mediated by insulin resistance or hyperglycemia, comprising diabetes type I and/or II, inadequate glucose tolerance, insulin resistance, hyperlipidemia, hypertriglyceridemia, hypercholesterolemia, polycystic ovary syndrome (PCOS).

RE.CNT 4   THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> s 121 not 123
L25          3 L21 NOT L23

=> c 125 tot bib abs hitstr
C IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d 125 bib abs hitstr
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L25  ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2007:87128  CAPLUS  Full-text
DN   146:177185
TI   Glepp-1 inhibitors in the treatment of autoimmune and/or inflammatory
     disorders
IN   Bombrun, Agnes; Hooft van Huijsduijnen, Rob; Jorand-Lebrun, Catherine;
     Vitte, Pierre-Alain; Gerber, Patrick
PA   Applied Research Systems Ars Holding N. V., Neth. Antilles
SO   PCT Int. Appl., 77pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.       KIND   DATE      APPLICATION NO.       DATE
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PI   WO 2007009959     A1    20070125   WO 2006-EP64288      20060714
     W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
         CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
         GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
         KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,
         MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
         SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,
         US, UZ, VC, VN, ZA, ZM, ZW
     RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
         IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
         CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
         GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
         KG, KZ, MD, RU, TJ, TM
PRAI EP 2005-106547    A    20050715
     US 2005-706365P   P    20050808
OS   MARPAT 146:177185
AB   The present invention is related to the use of a Glepp-1 inhibitor for the
     manufacture of a medicament for the treatment of an autoimmune and/or an
     inflammatory disorder.
IT   842136-75-8 842137-32-0 842137-43-3
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (Glepp-1 inhibitors in treatment of autoimmune and/or inflammatory
        disorders)
RN   842136-75-8  CAPLUS
CN   Benzoic acid, 5-[(3-cyclopentyl-1-oxopropyl)[[4-[[[(4-
     phenoxyphenyl)methyl]amino]carbonyl]phenyl]methyl]amino]-2-hydroxy-  (CA
     INDEX NAME)
```

```
RN   842137-32-0  CAPLUS
CN   Acetic acid, 2-[4-[[[(1-oxo-3-phenylpropyl)][2-[4-[[[(4-
     pentylphenyl)methyl]amino]carbonyl]phenyl]-4-thiazolyl]methyl]amino]methyl
     ]phenoxy]-  (CA INDEX NAME)
```

PAGE 1-A

PAGE 1-B


```
RN   842137-43-3  CAPLUS
CN   Acetic acid, 2-[4-[[[[2-[4-[(octylamino)carbonyl]phenyl]-4-
     thiazolyl]methyl](1-oxo-3-phenylpropyl)amino]methyl]phenoxy]-  (CA INDEX
     NAME)
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RE.CNT 6    THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L25  ANSWER 2 OF 3  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:984019  CAPLUS  Full-text
DN   143:279395
TI   Methylene amide derivatives for cardiovascular disorders
IN   Hooft van Huijsduijnen, Rob; Richard, Vincent
PA   Applied Research Systems Ars Holding N. V., Neth. Antilles
SO   PCT Int. Appl., 75 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.       KIND   DATE      APPLICATION NO.       DATE
     ---------------  ----   --------  --------------------  --------
PI   WO 2005082347     A1    20050909   WO 2005-EP50823      20050225
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         CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
         GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
         LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
         NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
         SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
     RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
         AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
         EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
         RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
         MR, NE, SN, TD, TG
     AU 2005216649     A1    20050909   AU 2005-216649       20050225
     CA 2554919        A1    20050909   CA 2005-2554919      20050225
     EP 1732534        A1    20061220   EP 2005-716814       20050225
     R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
         IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
         HR, LV, MK, YU
     CN 1933827        A     20070321   CN 2005-80008722     20050225
     BR 2005008080     A     20070717   BR 2005-8080         20050225
     JP 2007524701     T     20070830   JP 2007-500226       20050225
     MX 2006PA09777    A     20061030   MX 2006-PA9777       20060828
     NO 2006004295     A     20060922   NO 2006-4295         20060922
     US 2007185118     A1    20070809   US 2007-590808       20070112
PRAI EP 2004-100778    A    20040227
     WO 2005-EP50823   W    20050225
OS   MARPAT 143:279395
GI
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I

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AB   The present invention is related to the use of substituted methylene amide
     derivs. for the treatment and/or prevention of cardiovascular disorders such
     as coronary obstruction and heart failure and/or prevention of endothelial
     dysfunction in heart failure..  A methylene amide derivative I was able to
     acutely restore endothelial function in mice with chronic heart failure.
IT   578022-53-4, 4-[[(Carboxycarbonyl)[3-[(dodecylamino)carbonyl]benzy
     l]amino]methyl]benzoic acid; 578022-61-4, 3-
     [[(Carboxycarbonyl)[3-[(dodecylamino)carbonyl]benzyl]amino]methyl]benzoic
     acid 578022-67-0, 4-[[(Carboxycarbonyl)[4-
     [(dodecylamino)carbonyl]benzyl]amino]methyl]benzoic acid
     578022-94-3, 3-[[(Carboxycarbonyl)[4-[(dodecylamino)carbonyl]benzy
     l]amino]methyl]benzoic acid;
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (methylene amide derivs. for cardiovascular disorders)
RN   578022-53-4  CAPLUS
CN   Benzoic acid, 4-[[(carboxycarbonyl)[[3-[(dodecylamino)carbonyl]phenyl]meth
     yl]amino]methyl]-  (CA INDEX NAME)
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```
RN   578022-61-4  CAPLUS
CN   Benzoic acid, 3-[[(carboxycarbonyl)[[3-[(dodecylamino)carbonyl]phenyl]meth
     yl]amino]methyl]-  (CA INDEX NAME)
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```
RN   578022-67-0  CAPLUS
CN   Benzoic acid, 4-[[(carboxycarbonyl)[[4-[(dodecylamino)carbonyl]phenyl]meth
     yl]amino]methyl]-  (CA INDEX NAME)
```



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RN   578022-94-3  CAPLUS
```

CN   Benzoic acid, 3-[[(carboxycarbonyl)[[4-[(dodecylamino)carbonyl]phenyl]meth
      yl]amino]methyl]- (CA INDEX NAME)

Me—(CH₂)₁₁—NH—C(=O)——CH₂—N(—C(=O)—CO₂H)—CH₂——CO₂H

RE.CNT 2   THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25   ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
AN   2003:610410 CAPLUS Full-text
DN   139:179889
TI   Methylene amides, particularly [(arylmethyl)amino](oxo)acetic acids,
       useful as modulators, and especially inhibitors, of protein tyrosine
       phosphatases (PTPs), and their preparation, uses, e.g., as antidiabetics,
       and pharmaceutical compositions.
IN   Swinnen, Dominique; Bombrun, Agnes; Gonzalez, Jerome; Gerber, Patrick;
       Pittet, Pierre-Andre
PA   Applied Research Systems ARS Holding N.V., Neth. Antilles
SO   PCT Int. Appl., 346 pp.
       CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003064376	A1	20030807	WO 2003-EP808	20030127

   W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
      CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
      GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
      LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
      PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
      UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
   RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
       KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
       FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
       BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| CA 2472021 | A1 | 20030807 | CA 2003-2472021 | 20030127 |
| EP 1470102 | A1 | 20041027 | EP 2003-734697 | 20030127 |

   R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
      IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003007394	A	20041109	BR 2003-7394	20030127
JP 2005516061	T	20050602	JP 2003-564000	20030127
CN 1633410	A	20050629	CN 2003-807036	20030127
ZA 2004005179	A	20050629	ZA 2004-5179	20040629
IN 2004DN01884	A	20070406	IN 2004-DN1884	20040701
MX 2004PA07253	A	20041029	MX 2004-PA7253	20040727
NO 2004003520	A	20041005	NO 2004-3520	20040824
US 2005124656	A1	20050609	US 2005-501344	20050126
PRAI EP 2002-100078	A	20020129		
EP 2002-100410	A	20020425		
WO 2003-EP808	W	20030127		
OS MARPAT 139:179889				

GI

R2—N(R1)(CR3)(Cy)—C(=O)—C(=O)—OH   I

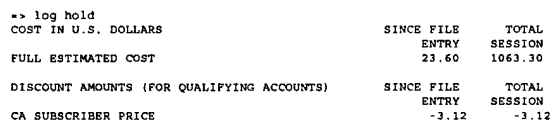Me—[CH₂]₁₁—NH—C(=O)——CH₂—N(—C(=O)—C(=O)—OH)—CH₂——CF₃   II

AB   Title compds. I [wherein R1 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, (3-8-
       membered)-cycloalkyl, heterocycloalkyl, (alkyl)aryl, (alkyl)heteroaryl,
       (alkenyl)aryl, heteroaryl, (alkynyl)aryl, heteroaryl; R2, R3 = independently H
       or alkyl; Cy = aryl, heteroaryl, cycloalkyl, heterocyclyl; with the proviso
       that four compds. are excluded; their geometrical isomers, optically active
       forms as enantiomers, diastereomers and racemates, and pharmaceutically
       acceptable salts and active derivs.] were prepared as inhibitors of protein
       tyrosine phosphatases (PTPs), in particular PTP1B. Examples include over 400
       invention compds., five pharmaceutical formulations, and two biol. assays.
       For example, II was prepared in 4 steps by amidation of 4-formylbenzoic acid
       with dodecylamine in THF in the presence of 4-methylmorpholine and iso-Bu
       chloroformate for 3 h at room temperature, reductive amination with 4-
       trifluoromethylbenzylamine in DCE in the presence of NaBH(OAc)3, TEA-acylation
       with chlorooxoacetic acid Et ester in THF, and base-catalyzed hydrolysis of
       the ester. II exhibited an IC50 value of 2.224 μM for inhibition of PTP1B,
       1.40 μM for GLEPP-1, 2.40 μM for SHP-1, and 2.70 μM for SHP-2 in an in vitro
       assay. In an in vivo postprandial glycemia model in db/db mice, II, at 20-200
       mg/kg orally, decreased blood glucose level by 17% at 20 mg/kg, by 42% at 100
       mg/kg, and by 48% at 200 mg/kg, with decreases in serum insulin levels of -2%,
       66%, and 89%, resp. Thus, I and their formulations are useful for the
       treatment and/or prevention of metabolic disorders mediated by insulin
       resistance or hyperglycemia, comprising diabetes type I and/or II, inadequate
       glucose tolerance, insulin resistance, hyperlipidemia, hypertriglyceridemia,
       hypercholesterolemia, obesity, polycystic ovary syndrome (PCOS).
IT   578022-53-4P, 4-[[(Carboxycarbonyl)[3-
       [(dodecylamino)carbonyl]benzyl]amino]methyl]benzoic acid
       578022-61-4P, 3-[[(Carboxycarbonyl)[3-
       [(dodecylamino)carbonyl]benzyl]amino]methyl]benzoic acid
       578022-67-0P, 4-[[(Carboxycarbonyl)[4-
       [(dodecylamino)carbonyl]benzyl]amino]methyl]benzoic acid
       578022-94-3P, 3-[[(Carboxycarbonyl)[4-
       [(dodecylamino)carbonyl]benzyl]amino]methyl]benzoic acid
       RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
       (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
       (Uses)

       (drug candidate; preparation of [(arylmethyl)amino](oxo)acetic acids as PTP
       inhibitors for antidiabetics)
RN   578022-53-4 CAPLUS
CN   Benzoic acid, 4-[[(carboxycarbonyl)[[3-[(dodecylamino)carbonyl]phenyl]meth
       yl]amino]methyl]- (CA INDEX NAME)

HO₂C——CH₂—N(—C(=O)—CO₂H)—CH₂——C(=O)—NH—(CH₂)₁₁—Me

RN   578022-61-4 CAPLUS
CN   Benzoic acid, 3-[[(carboxycarbonyl)[[3-[(dodecylamino)carbonyl]phenyl]meth
       yl]amino]methyl]- (CA INDEX NAME)

HO₂C——CH₂—N(—C(=O)—CO₂H)—CH₂——C(=O)—NH—(CH₂)₁₁—Me

RN   578022-67-0 CAPLUS
CN   Benzoic acid, 4-[[(carboxycarbonyl)[[4-[(dodecylamino)carbonyl]phenyl]meth
       yl]amino]methyl]- (CA INDEX NAME)

HO₂C——CH₂—N(—C(=O)—CO₂H)——C(=O)—NH—(CH₂)₁₁—Me

RN   578022-94-3 CAPLUS
CN   Benzoic acid, 3-[[(carboxycarbonyl)[[4-[(dodecylamino)carbonyl]phenyl]meth
       yl]amino]methyl]- (CA INDEX NAME)

Me—(CH₂)₁₁—NH——CH₂—N(—C(=O)—CO₂H)—CH₂——CO₂H

RE.CNT 7   THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	23.60	1063.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.12	-3.12

   SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:02:48 ON 04 DEC 2007
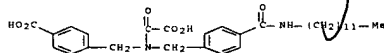
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=> d his

     (FILE 'HOME' ENTERED AT 21:34:50 ON 03 DEC 2007)

     FILE 'REGISTRY' ENTERED AT 21:35:03 ON 03 DEC 2007
L1             STRUCTURE UPLOADED
L2       991044 S NCSC2/ES
L3            0 S L1 SAM SUB=L2
L4            0 S L1
L5            4 S L1 SSS FULL SUB=L2

     FILE 'CAPLUS' ENTERED AT 21:36:37 ON 03 DEC 2007
L6            1 S L5

=> d l1
L1 HAS NO ANSWERS
L1               STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
```

chain nodes :
       8   9   13   14   15   16   17   18   19   20   23   24   25   26   29   31   32   33   34   35   37
ring nodes :
       1   2   3   4   5   6
chain bonds :
       6-8   8-9   9-13   9-15   13-14   15-37   16-18   16-17   16-37   19-20   20-33   24-25   24-26
       31-32   33-34   33-35
ring bonds :
       1-2   1-6   2-3   3-4   4-5   5-6
exact/norm bonds :
       6-8   8-9   9-13   9-15   13-14   15-37   16-18   16-17   16-37   19-20   20-33   24-25   24-26
       31-32   33-34   33-35
normalized bonds :
       1-2   1-6   2-3   3-4   4-5   5-6
isolated ring systems :
       containing 1 :

G2:[*1],[*2],[*3],[*4]

Connectivity :
       8:2 E exact RC ring/chain   15:2 E exact RC ring/chain   20:2 E exact RC ring/chain
       32:1 E exact RC ring/chain
Match level :
       1:Atom   2:Atom   3:Atom   4:Atom   5:Atom   6:Atom   8:CLASS   9:CLASS   13:CLASS   14:CLASS
       15:CLASS   16:CLASS   17:CLASS   18:CLASS   19:CLASS   20:CLASS   23:CLASS   24:CLASS   25:CLASS
       26:CLASS   29:CLASS   30:Atom   31:CLASS   32:CLASS   33:CLASS   34:CLASS   35:CLASS   37:Atom
Generic attributes :

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    8:
    Saturation              : Saturated
    37:
    Saturation              : Unsaturated
    Number of Carbon Atoms  : less than 7
    Number of Hetero Atoms  : 2 or more
    Type of Ring System     : Monocyclic

Element Count :
    Node 37: Limited
        C,C3
        S,S1
        N,N1
```

L6   ANSWER 1 OF 1   CAPLUS   COPYRIGHT 2007 ACS on STN
AN   2005:120736   CAPLUS
DN   142:219051
TI   Preparation of aryl dicarboxamides as protein-tyrosine phosphatase
       inhibitors
IN   Thomas, Russel J.; Swinnen, Dominique; Pons, Jean-Francois; Bombrun, Agnes
PA   Applied Research Systems Ars Holding N.V., Neth.
SO   PCT Int. Appl., 103 pp.
       CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005011685	A1	20050210	WO 2004-EP51558	20040720

        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG

AU 2004260831	A1	20050210	AU 2004-260831	20040720
CA 2529662	A1	20050210	CA 2004-2529662	20040720
EP 1656139	A1	20060517	EP 2004-742005	20040720

        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

JP 2006528157	T	20061214	JP 2006-520836	20040720
US 2006189583	A1	20060824	US 2006-565557	20060123
NO 2006000815	A	20060220	NO 2006-815	20060220
PRAI EP 2003-102236	A	20030721		
US 2003-517824P	P	20031106		
WO 2004-EP51558	W	20040720		

OS   CASREACT 142:219051; MARPAT 142:219051
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB   Title compds. I [A = CONHR6 wherein R6 = alkyl, alkenyl, alkynyl,
       cycloalkyl, etc.; X = aryl, heteroaryl, arylheteroaryl, arylaryl, etc.; n
       = 0 or 1; R1 and R2 independently = H or alkyl; R3 = alkyl, alkenyl,
       alkynyl, alkoxy, etc.; R4 and R5 independently = H, OH, alkyl, carboxy,
       alkoxy, etc.], and their pharmaceutically acceptable salts, are prepared and
       disclosed as protein-tyrosine phosphatase inhibitors. Thus, e.g., II was
       prepared via reductive amination of 6-amino-2,2-dimethyl-4H-1,3-benzodioxin-
       4-one (preparation given) with 4-formylbenzoate and subsequent amidation with
       3-cyclopentylpropanoyl chloride, debenzylation, amidation with
       4-phenoxybenzylamine and deprotection. I were evaluated for inhibition of
       PTP, and in particular PTP1B; e.g., II possessed an IC50 value of 1.0
       μM in assays against PTP1B. As PTP inhibitors, I should be useful for
       the treatment and/or prevention of obesity and/or metabolic disorders
       mediated by insulin resistance or hyperglycemia, comprising diabetes type
       I and/or II, inadequate glucose tolerance, insulin resistance,
       hyperlipidemia, hypertriglyceridemia, hypercholesterolemia, polycystic

ovary syndrome (PCOS).
RE.CNT 4   THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT